

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

Uploading C:\Users\rdesai\Documents\STN Express 8.4\Queries\10581175.str
L1 STRUCTURE UPLOADED

1.1 HAS NO ANSWERS
1.1 CTD
/ Structure 1 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

1.1
SAMPLE SEARCH INITIATED 14.07.13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 637 TO ITERATE
100.0% PROCESSED 637 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS. ONLINE **COMPLETE**
PROJECTED ITERATIONS. BATCH **COMPLETE**
PROJECTED ANSWERS: 11226 TO 14254
3709 TO 5531

L2 50 SEA SSS SAM L1

1.1 full
FULL SEARCH INITIATED 14.07.13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13163 TO ITERATE
100.0% PROCESSED 13163 ITERATIONS 5019 ANSWERS
SEARCH TIME: 00.00.01

L3 5019 SEA SSS FUL L1

Uploading C:\Users\rdesai\Documents\STN Express 8.4\Queries\10581175.str
L4 STRUCTURE UPLOADED

1.4 HAS NO ANSWERS
1.4 CTD
/ Structure 2 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

=> s 14

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SAMPLE SEARCH INITIATED 14.12.53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 637 TO ITERATE
100.0% PROCESSED 637 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
50 ANSWERS

FULL FILE PROJECTIONS. ONLINE **COMPLETE**
PROJECTED ITERATIONS. BATCH **COMPLETE**
PROJECTED ANSWERS: 11226 TO 14254
3421 TO 5179

L5 50 SEA SSS SAM L4
=> a 14
SAMPLE SEARCH INITIATED 14.12.57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 637 TO ITERATE
100.0% PROCESSED 637 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
50 ANSWERS

FULL FILE PROJECTIONS. ONLINE **COMPLETE**
PROJECTED ITERATIONS. BATCH **COMPLETE**
PROJECTED ANSWERS: 11226 TO 14254
3421 TO 5179

L6 50 SEA SSS SAM L4
=> file caplus
'CAPLUS' IS NOT A VALID FILE NAME
SESSION CONTINUES IN FILE 'REGISTRY'
Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files
that are available. If you have requested multiple files you can
specify a corrected file name or you can enter "IGNORE" to continue
accessing the remaining file names entered.
=> a 16
SAMPLE SEARCH INITIATED 14.12.13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 637 TO ITERATE
100.0% PROCESSED 637 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
50 ANSWERS

FULL FILE PROJECTIONS. ONLINE **COMPLETE**
PROJECTED ITERATIONS. BATCH **COMPLETE**
PROJECTED ANSWERS: 11226 TO 14254
3421 TO 5179

L7 50 SEA SSS SAM L4
=> file caplus
http://www.cas.org/legal/infopolicy.html
This file contains CAS Registry Numbers for easy and accurate
substance identification.
=> a 16
L8 8 L6

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AN The invention relates to spiroindolinopiperidine of formula I, which are
TM useful as anthelmintics. Comnds. of formula I wherein V is O and S, O is
CI CH₂CH(CH₃) CH₂CH₂O CH₂CH-CH etc. R₁ is H, F, Cl, etc. R₂ is H, F, Cl,
etc. A₁ is H, halo and C₁₋₄ alkyl. A₂ is H, halo (unsubstituted C₁₋₄ CL,
alkyl etc. A₃ and A₄ are independently H, halo, C₁₋₄ alkyl. R₁, R₄ and
R₅ are independently H, F, Cl, etc. R₂ is H, F, NO₂ etc. R₃ is H, F,
CN etc. and pharmaceutically acceptable solvates, N-oxides and salts
thereof are claimed. Example compd. II was prepd. by a multistep
procedure (procedure given). All the invention compds. were evaluated for
their anthelmintic activity (data given).
2011.1000085 CAPLUS <<LOGINID::20111010>>
155.271085

AN Spiroindolinopiperidine derivatives and their preparation and use as
TM anthelmintics
TN Lutz, Juergen; Koch, Sandra; Hoff, Manfred; Heckerroth, Anja Regina;
DA Oepen, Von Britta; Sondern, Ulrich
SO Intervet International B.V., Neth.
PAT Int. Appl., 76pp.
CODEN: PIXXD2

DT Patent
LA English
FAN CNT 1

	PATENT NO			KIND			DATE			APPLICATION NO			DATE		
DT	WO	2011095581		A1			20110811			WO	2011-EP51639			20110201	
	W.	AF	AG	AI	AM	AO	AT	AV	AW	BB	BC	BD	BE	BF	
		CA	CH	CI	CN	CO	CP	CU	CV	DE	DK	DM	DO	DZ	
		EE	EG	GR	GD	GE	GH	GM	GN	HN	HP	HT	HU	IE	
		KE	KZ	KM	KN	KR	KW	KY	LA	LC	LV	LB	LS	LT	
		MD	ME	MZ	MG	MN	MW	MY	MV	MZ	NA	NG	NI	NO	
		OM	PH	PT	QA	QD	QF	QI	QJ	QA	QF	QG	QH	QI	
		RV	TH	TI	TM	TN	TR	TT	TV	UA	UG	UI	UJ	UK	
	DW.	AT	AT	BE	BG	BO	BR	BT	BU	DE	DK	EE	EG	EH	
		FI	FI	FR	GB	GD	GE	GG	GH	HN	HP	HT	HU	IE	
		KE	KE	KG	KN	KR	KW	KY	LA	LC	LV	LB	LS	LT	
		MD	ME	MZ	MG	MN	MW	MY	MV	MZ	NA	NG	NI	NO	
		OM	PH	PT	QA	QD	QF	QI	QJ	QA	QF	QG	QH	QI	
		RV	TH	TI	TM	TN	TR	TT	TV	UA	UG	UI	UJ	UK	
		AT	AT	BE	BG	BO	BR	BT	BU	DE	DK	EE	EG	EH	
		FI	FI	FR	GB	GD	GE	GG	GH	HN	HP	HT	HU	IE	
		KE	KE	KG	KN	KR	KW	KY	LA	LC	LV	LB	LS	LT	
		MD	ME	MZ	MG	MN	MW	MY	MV	MZ	NA	NG	NI	NO	
		OM	PH	PT	QA	QD	QF	QI	QJ	QA	QF	QG	QH	QI	
		RV	TH	TI	TM	TN	TR	TT	TV	UA	UG	UI	UJ	UK	
DRAT	EP	2010-152817		A			20100205			KG, KZ, MD, RU, TJ, TM, SD, SL,					
CS	US	2010-2022120		P			20100208								
TT	MAPDAT	155.271085													
	1322047-88-00D						***1322048-37-20D***			***1322048-73-6P***					
	1322049-04-60D						***1322049-11-50D***								
	DI. DAC (Pharmacological activity). SDN (Synthetic preparation). THU														
	(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES														
	(Uses)														
	(Prep. of spiroindolinopiperidine derivs. as anthelmintics)														
DN	1322047-88-0	CAPLUS													
CI	Methanone [5-chloro-1'-[(2E)-3-(2-chlorophenyl)-2-propen-1-yl]-1,2-														
	dihydrospiro[3H-indole-3,4'-piperidin]-1-yl](2-chloro-4-pyridinyl)-														
	INDEX NAME)														

Double bond geometry as shown.

/ Structure 3 in file .gra /

BN 1322048-37-2 CAPLUS
 CN Methanone [5-chloro-1'-[(2F)-3-(3,4-dichlorophenyl)-2-propen-1-yl]-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1-yl] (2-fluoro-4-pyridinyl)- (CA INDEX NAME)

Double bond geometry as shown.

/ Structure 4 in file .gra /

BN 1322048-73-6 CAPLUS
 CN Methanone [5-chloro-1'-[(2F)-3-[2-fluoro-4-(trifluoromethyl)phenyl]-2-propen-1-yl]-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1-yl] (2-chloro-4-pyridinyl)- (CA INDEX NAME)

Double bond geometry as shown.

/ Structure 5 in file .gra /

BN 1322049-04-6 CAPLUS
 CN Methanone [1'-[(2F)-3-(4-chloro-2-fluorophenyl)-2-propen-1-yl]-1,2-dihydro-5-methoxy spiro[3H-indole-3,4'-piperidin]-1-yl] (2-chloro-4-pyridinyl)- (CA INDEX NAME)

Double bond geometry as shown.

/ Structure 6 in file .gra /

BN 1322049-11-5 CAPLUS
 CN Methanone [2-chloro-4-pyridinyl] [5-methyl-1'-[(2F)-3-[4-(trifluoromethyl)phenyl]-2-propen-1-yl] spiro[3H-indole-3,4'-piperidin]-1(2H)-yl]- (CA INDEX NAME)

Double bond geometry as shown.

/ Structure 7 in file .gra /

REF CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN

/ Structure 8 in file .gra /

AB The invention relates to spiro piperidine derivate of formula I, which are GPR40 agonists and which are useful in the treatment of diabetes. Comps of formula I wherein P1 is H, R and Cl. P2 is H, C1-3 alkyl, CF3, methoxy, R and Cl. P4 and P4a are independently H, C1-3 alkyl, CF3, methoxy and R, provided that at least one of P4 and P4a is H. P5 is H and 1-propynyl; X is CH2CH2, CH2CH2C(O)CH2, etc. P3 is H and C1-3 alkyl, and pharmaceutically acceptable salts thereof are claimed. Example compd. II was prepared by a multistep procedure (procedure given). All the invention comds were evaluated for their GPR40 agonistic activity. From the assay, it was detd. that compd. II exhibited an EC50 value of 186.+- .93 nM with 91.+- 10% efficacy.

2011.100231 CAPLUS <<LOGINID::20111010>>
 154:486226

```

/ Structure 9 in file .gra /
DN      1292291-63-4    CAPLJIS
CN      Benzenepropanoic acid, 4-[[4-[[1,2-dihydro-1-methyl-5-
        (trifluoromethyl)spiro[3H-indole-3,4'-piperidin]-1'-
        yl)methyl]phenyl]methoxy]-2-fluoro-, ethyl ester (CA INDEX NAME)

/ Structure 10 in file .gra /

/ Structure 11 in file .gra /
DN      1292291-81-6    CAPLJIS
CN      Benzenepropanoic acid, 4-[[4-[[1,2-dihydro-1,5-dimethylspiro[3H-indole-
        3,4'-piperidin]-1'-yl)methyl]phenyl]methoxy]-2-fluoro-, ethyl ester (CA
        INDEX NAME)

/ Structure 12 in file .gra /

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/ Structure 13 in file .gra /

RE CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN

/ Structure 14 in file .gra /

AB The invention is related to the prepn of spiro comds T [X3 and X4 - CH2 and CH2, CH2 and CO, CO and CH2; Y1 and Y2 - any of X3 and X4, CH2 and S, SO2 and CH2, NH and CO, etc.; R1 - aminoalkyl, (hetero)arylcarboxylaminoalkyl, aminosulfonylaminoalkyl, etc. wherein each instance of aryl is optionally substituted with 1-2 halo substituents; R2 - absent, one halo substituent; R3 - H, alkylaryl, R5, CO2R5, R5 = haloaryl, nitroarylalkyl, aminoheteroarylthio, alkoxyheteroaryl, etc.], particularly spironipiperidines as tryptase inhibitors. Thus, spironipiperidine II was prepd by a multi-step synthesis from 1-(tert-butoxycarbonyl)-4-piperidone and studied in a beta-tryptase in-vitro enzyme assay, in an antigen-induced allergic sheep model of asthma and in a guinea pig model of allergic asthma. T are useful for treating a tryptase mediated inflammatory, vascular or dermatol. condition.

AN 2009.649329 CAPLUS <<LOGINID::20111010>>

INT 151.8305

TI Preparation of spironipiperidines as tryptase inhibitors

IN Costanzo, Michael J.; Yabut, Stephen C.; Tounge, Brett; Maryanoff, Bruce

DA E. Zhang, Han-Cheng

SO Tanssen Pharmaceuticals N.V., Belg.

PCT Int Appl., 291pp.

COFEN. PIXXD2

DT Patent

LA English

FAN CNT 1

DATE	NO	KIND	DATE	APPLICATION NO	DATE
WO	2009067202	A1	20090522	WO 2008-115122	20081116
W.	AF AG AI AM AO AT AU A7	BA BB BC BD BE BF BG BH BI BJ BK BL BM BN BO BP BQ BR BS BT BU BV BW BX BY BZ	CA CH CI CJ CK CL CM CN CO CP CQ CR CS CT CU CV CW CX CY CZ DA DB DC DD DE DF DG DH DI DJ DK DL DM DN DO DP DQ DR DS DT DU DV DW DX DY DZ	EA EB EC ED EE EF EG EH EI EJ EK EL EN EO EP EQ ER ES ET EU EV EW EX EY EZ	FA FB FC FD FE FG FH FI FJ FK FL FM FN FO FP FQ FR FS FT FU FV FW FX FY FZ
DW.	GA GB GC GD GE GF GH GI GJ GK GL GM GN GO GP GQ GR GS GT GU GV GW GX GY GZ	HA HB HC HD HE HF HG HH HI HJ HK HL HM HN HO HP HQ HR HS HT HU HV HW HX HY HZ	IA IB IC ID IE IF IG IH II IJ IK IL IM IN IO IP IQ IR IS IT IU IV IW IX IY IZ	JA JB JC JD JE JF JG JH JI JJ JK JL JM JN JO JP JQ JR JS JT JU JV JW JX JY JZ	KA KB KC KD KE KF KG KH KI KJ KL KM KN KO KP KQ KR KS KT KU KV KW KX KY KZ
CA	2706391	A1	20090522	CA 2008-2706391	20081119
US	20090163527	A1	20090625	US 2008-213289	20081119
EP	2224803	A1	20100902	EP 2008-253021	20081119
D.	AT BE BG CH CY CZ DE DK EE ES ET EU	GB GD GE GF GH GI GJ GK GL GM GN GO GP GQ GR GS GT GU GV GW GX GY GZ	HA HB HC HD HE HF HG HH HI HJ HK HL HM HN HO HP HQ HR HS HT HU HV HW HX HY HZ	IA IB IC ID IE IF IG IH II IJ IK IL IM IN IO IP IQ IR IS IT IU IV IW IX IY IZ	JA JB JC JD JE JF JG JH JI JJ JK JL JM JN JO JP JQ JR JS JT JU JV JW JX JY JZ
	IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI,				

CA 2705405 AM RW GH GM KP LS MW M7 NA SN ST SZ T7, UG, ZM, ZW,
RD 2225223 D. AT RF RG CH CV CZ DE DK EE ES ET ED GR GD HD HI
IF IS IT IJ LV, MC, MT, NL, NO, PL, PT, RO, SE, SI,
SK TD AT. RA MK PS
EP 2010-172020 20081121
D. AT RF RG CH CV CZ DE DK EE ES ET ED GR GD HD HI
IF IS IT IJ LV, MC, MT, NL, NO, PL, PT, RO, SE, SI,
SK TD AT. RA MK PS
TD 2011504478 T 20110210 TD 2010-524484 20081121
US 20110195954 A 20110811 US 2010-743004 20100913
EP 2007-121217 A 20071122
EP 2008-851956 A3 20081121
WO 2008-851956 WO 20081121
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OC MAPDAT 151.8203
IT ***1159008-73-7D***
PL: DAC (Pharmacological activity); SDN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
D. (Prep. of 35 niperidinobenzamides CGRP receptor antagonists)
D. 1159008-73-7 DAC.DIC
CN 2H-Imidazo[4,5-b]pyridin-2-one, 1-[1-[6-[1-(2-dihydro-1'-methylspiro[3H-
indole-3,4'-niperidin]-1-yl)carbonyl]-4-pyrimidinyl]-4-piperidinyl]-1,3-
dihydro- (CA INDEX NAME)

/Structure 17 in file .gra /

OC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

ANSWER 5 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN

/ Structure 18 in file .gra /

AB This invention relates to compounds of formula I, pharmaceutically
acceptable salts thereof, and pharmaceutical compositions thereof which are
useful for the therapeutic treatment of diseases associated with the
modulation or inhibition of 11 beta -HSD1 in mammals. The invention
further relates to pharmaceutical compositions of the compounds and methods for
their use in the reduction or control of the production of Cortisol in a cell or
the inhibition of the conversion of cortisone to Cortisol in a cell.
Compounds of formula I wherein K, I, M, Y and V are independently C, N and
O, provided that the total no. of C and N in the ring is 3 or less and
when K, I, M, Y and V is O, any adjacent atoms in the ring cannot be O.
dotted line is single and double bonds. A and R are independently CH2 and
CH2CH2. P1 - P5 are independently H, (un)substituted (hetero)aryl,
(un)substituted alkyl, (un)substituted alkenyl, (un)substituted
cycloalkyl, (un)substituted arylalkyl, etc. when K, I, M, Y and V is -O-
and -N- then n1, n2, n3, n4 and n5, resp. is 0. when K, I, M, Y and V is
-N- and -C- then n1, n2, n3, n4 and n5, resp. is 1. when K, I, M, Y and
V is -C- then n1, n2, n3, n4 and n5, resp. is 2. when K, I, M, Y and V is
-C- and P1, P2, P3, P4 and P5 is connected through a double bond then
p1, p2, p3, p4 and p5, resp. is 1; Q is 0 and NH and derivs.; R7 is

	PATENT NO	KIND	DATE	APPLICATION NO	DATE
DT	WO 2000024497	A2	2000080722	WO 2007-US18789	20070824
	W.				
	DW.				
	CA 2661577	A1	2000080722	CA 2007-2661503	20070824
	DG.				
	TD 2011050115	D1	201102210	TS 2009-525653	20070824
	TIS 2011050115	D1	201102210	TS 2009-310457	20090903
	WO 2007-TIS18789	W	20070824		
ASSIGNMENT HISTORY FOR ITS PATENT AVAILABLE IN LSUS DISPLAY FORMAT	CASPRACE 148-208196	MARPAT 148-208196			
TE	***1017541-10-AD***		***1017541-37-5D***		***1017541-88-6D***
	1017541-11-10-AD		***1017541-29-8D***		***1017541-12-13-6P***
	PT. PAC (Pharmacological activity); PRPH (Prophetic); SDN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USFS (Uses)				
	(prophetic drug candidate; prep of azaspirocyclic comds) as				
DN	1017541-10-14	CABASIC			
CN	Spiro[3H-indole-3,4'-piperidinyl]-1'-carboxylic acid,				
	1-(2,2-dimethyl-1-oxopropyl)-1,2-dihydro-				
	5-(aminocarbonyl)tricyclo[3.3.1.1 ^{3,7}]dec-2-yl ester (CA INDEX NAME)				

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/ Structure 19 in file .gra /
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1017541-27-5 CAPLUS
 Spiro[3H-indole-3,4'-piperidinyl]-1,1'-(2H)-dicarboxylic acid,
 5-(trifluoromethyl)-1-(1,1-dimethylethyl)
 1'-tricyclo[3.3.1.1^{3,7}]dec-2-yl ester (CA INDEX NAME)

/ Structure 20 in file .gra /

DN 1017541-88-6 CAPLUS
 CN Spiro[3H-indole-3,4'-piperidinyl]-1'-carboxamide
 1-acetyl-1,2-dihydro-N-[5-(hydroxymethyl)tricyclo[3.3.1.1^{3,7}]dec-2-yl]-
 (CA INDEX NAME)

/ Structure 21 in file .gra /

DN 1017541-99-9 CAPLUS
 CN Spiro[3H-indole-3,4'-piperidinyl]-1'-carboxylic acid
 1-acetyl-1,2-dihydro-, 5-(hydroxymethyl)tricyclo[3.3.1.1^{3,7}]dec-2-yl ester
 (CA INDEX NAME)

/ Structure 22 in file .gra /

DN 1017542-29-8 CAPLUS
 CN Spiro[3H-indole-3,4'-piperidinyl]-1'-carboxamide
 N-[5-(aminosulfonyl)tricyclo[3.3.1.1^{3,7}]dec-2-yl]-1,2-dihydro-1-methyl-
 (CA INDEX NAME)

/ Structure 23 in file .gra /

DN 1017542-43-6 CAPLUS
 CN Spiro[3H-indole-3,4'-piperidinyl]-1'-carboxylic acid
 1,2-dihydro-1-methyl-, 5-(aminosulfonyl)tricyclo[3.3.1.1^{3,7}]dec-2-yl ester
 (CA INDEX NAME)

/ Structure 24 in file .gra /

OSCG 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN

/ Structure 25 in file .gra /

AB Comnds and pharmaceutically acceptable salts of the comnds are disclosed wherein the comnds have the structure of formula I as defined in the specification. Corresponding pharmaceutical comnds, methods of treatment, methods of synthesis, and intermediates are also disclosed. Comnds of formula I wherein Y1 is CP7, Y2 is CP4, Y3 is CP6, Y4 is (CHP9)O, Y5 is CH2, CH2CH2, Y8 is CP3, Y9 is CP2, P1, P2, P3, P4, and P6 are independently H, halo, CN, OH and derivs, alkyl, alkenyl, etc. P7 is H, halo, CN, OH and derivs, CO2H and derivs, P8 and P9 are independently H, halo, CN, OH and derivs, CO2H and derivs, NH2 and derivs, H, alkyl, alkenyl, etc. P11, P12, P13 and P14 are independently halo, CN, H, CO2H and derivs., CONH2 and derivs., OH and derivs., NH2 and derivs., alkyl,

etc. P17 is (iii)substituted alkyl (iii)substituted alkenyl
(iii)substituted cycloalkyl and (iii)substituted cycloalkenyl. P18 is H,
halo and alkyl. P19 are H. P20P19 taken together to form -O- and their
pharmaceutically acceptable salts thereof are claimed. Example compd.
II but HCl was prepd. by reductive alkylation of
4-(2-methoxy-4-trifluoromethylphenyl)piperidine hydrochloride with
1-methyl-1H-benzimidazole-2-carboxaldehyde. All the invention compds
were evaluated for their ability to potentiate mGluR2. From the assay, it
was detd. that compd. II exhibited EC50 value of < 0.0193 .mu.M.
2008.122519 CAPLUS <<LOGINID::20111010>>
148.215048
Benzimidazolyl compounds as potentiators of mGluR2 subtype of glutamate
receptor and their preparation, pharmaceutical compositions and use in the
treatment of diseases
Efreimov, Ivan Viktorovich; Rogers, Bruce Nelsen; Duplantier, Allen Jacob;
Zhang, Lei; Zhang, Qian; Maklad, Noha Serour; Evrard, Edelweiss Virginie;
Brodney, Michael A
Pfizer Products, Inc. USA
DCT Int. Appl., 170pp.
CODEN: PIXXD2
Patent
English
CNT 1
DATENT NO. KIND DATE APPLICATION NO. DATE
WO 2008012622 -A1 20080131 WO 2007-182032 20070712
W. AF AG AT AM AP AU AZ BA BB BC BD BE BF BG BH BI BJ BK BL
BM BN BO BP BR BS BT BU BV BW BX BY BZ CA CB CC CE CF CG CH CI CJ
CK CL CM CN CO CP CQ CR CS CT CU CV CW CX CY CZ DA DB DE DF DG DH
DI DJ DK DL DM DN DO DP DQ DR DS DT DU DV DW DX DY DZ EA EB EC ED
EE EF EG EH EI EJ EK EL EN EO EP EQ ER ES ET EU EV EW EX EY EZ FA
FB FC FD FE FF FG FH FI FJ FK FL FM FN FO FP FQ FR FS FT FU FV FW
FX FY FZ GA GB GC GD GE GF GH GI GJ GK GL GM GN GO GP GQ GR GS GT
GU GV GW GX GY GZ HA HB HC HD HE HF HG HH HI HJ HK HL HM HN HO HP
HQ HR HS HT HU HV HW HX HY HZ IA IB IC ID IE IF IG IH II IJ IK IL
IM IN IO IP IQ IR IS IT IU IV IW IX IY IZ JA JB JC JD JE JF JG JH
JI JJ JK JL JM JN JO JP JQ JR JS JT JU JV JW JX JY JZ KA KB KC KD
KE KF KG KH KI KJ KK KL KM KN KO KP KQ KR KS KT KU KV KW KX KY KZ
LA LB LC LD LE LF LG LH LI LJ LK LL LM LN LO LP LQ LR LS LT LU LV
LW LX LY LZ MA MB MC MD ME MF MG MH MI MJ MK ML MN MO MP MQ MR
MS MT MU MV MW MX MY MZ NA NB NC ND NE NF NG NH NI NJ NK NL NO
NP NQ NR NS NT NU NV NW NX NY NZ OA OB OC OD OE OF OG OH OI OJ OK
OL OM ON OP OQ OR OS OT OU OV OW OX OY OZ PA PB PC PD PE PF PG PH
PI PJ PK PL PM PN PO PP PQ PR PS PT PU PV PW PX PY PZ QA QB QC QD
QE QF QG QH QI QJ QK QL QM QN QO QQ QR QS QT QU QV QW QX QY QZ
RA RB RC RD RE RF RG RH RI RJ RK RL RM RN RO RP RQ RS RT RU RV
RW RX RY RZ SA SB SC SD SE SF SG SH SI SJ SK SL SM SN SO SP SQ
SR SS ST SU SV SW SX SY SZ TA TB TC TD TE TF TG TH TI TJ TK TL TM
TN TO TP TP TR TS TT TU TV TW TX TY TZ UA UB UC UD UE UF UG UH UI
UJ UK UL UM UN UO UP UQ UR US UT UV UW UX UY UZ VA VB VC VD VE VF
VG VH VI VJ VK VL VM VN VO VP VQ VR VS VT VU VV VW VX VY VZ WA
WB WC WD WE WF WG WH WI WJ WK WL WM WN WO WP WQ WR WS WT WV WY
WZ XA XB XC XD XE XF XG XH XI XJ XK XL XM XN XO XP XQ XR XS XT
XU XV XW XX XY XZ YA YB YC YD YE YF YG YH YI YJ YK YL YM YN YO
YP YQ YR YS YT YU YV YW YX YY YZ ZA ZB ZC ZD ZE ZF ZG ZH ZI ZJ
ZK ZL ZM ZN ZO ZP ZQ ZR ZS ZT ZU ZV ZW ZX ZY ZZ
AP 61899 A1 200801001 AP 2007-103173 20070717
US 20080280933 A1 20081113 US 2007-780579 20070720
US 2006-833149D D 20060725
CAPSULE 148.215048. MARPAT 148.215048
1004614-15-2D ***1004614-75-8D*** ***1004615-29-5P***
1004615-32-0D ***1004615-56-8D***
PT. DAC (Pharmacological activity). SDN (Synthetic preparation). THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate. prepn of benzimidazolyl compds as potentiators of
mGluR2 or glutamate receptor useful in treatment and prevention of
diseases)
1004614-15-2 CAPSULE
Spiro[3H-indole-3,4'-piperidin-1(2H)-butanoic acid
5-methyl-1'-[(1-methyl-1H-benzimidazol-2-yl)methyl]-.gamma.-oxo-, methyl
ester (CA INDEX NAME)
/ Structure 26 in file .gra /
1004614-75-8 CAPSULE
1-Butanone 1-[(1,2-dihydro-1'-[(1-methyl-1H-benzimidazol-2-yl)methyl]spiro[3H-indole-3,4'-piperidin]-1-yl)]- (CA INDEX NAME)

/ Structure 27 in file .gra /

DN 1004615-29-5 CAPLUS
CN Spiro[3H-indole-3,4'-piperidinel, 1,2-dihydro-5,7-dimethyl-1'-[(1-methyl-1H-benzimidazol-2-yl)methyl]-1-(3-methylbutyl)- (CA INDEX NAME)

/ Structure 28 in file .gra /

DN 1004615-32-0 CAPLUS
CN Spiro[3H-indole-3,4'-piperidinel, 1,2-dihydro-5-methyl-1'-[(1-methyl-1H-benzimidazol-2-yl)methyl]-1-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)

/ Structure 29 in file .gra /

DN 1004615-56-8 CAPLUS
CN Spiro[3H-indole-3,4'-piperidinel, 1,2-dihydro-5,7-dimethyl-1'-[(1-methyl-1H-benzimidazol-2-yl)methyl]-1-(2-methylbutyl)- (CA INDEX NAME)

/ Structure 30 in file .gra /

TT ***1004619-35-5P***
PT: PCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate: prepn of benzimidazolyl comds as potentiators of mGluR2 or glutamate receptor useful in treatment and prevention of diseases)
DN 1004619-35-5 CAPLUS
CN Spiro[3H-indole-3,4'-piperidinel-1'-carboxylic acid 5-bromo-1,2-dihydro-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

/ Structure 31 in file .gra /

OSC G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

T:8 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN
GI

/ Structure 32 in file .gra /

AR Title comds [1: P1 = (substituted) aliphatic amino; P2 = (substituted) cycloaliphatic, heterocycloaliphatic; L = bond CH2, CH2CH2, n = 0, 1] were prepnd Thus Et 4-[1'-acetyl-2',3'-dihydro-1'H-spiro[piperidine-4,4'-quinolinel-1-yl]piperidine-1-carboxylate [prepn from text-P1] 3-oxo-2,3-dihydrospiro[indene-1,4'-piperidinel-1'-carboxylate and Et 4-oxopiperidine-1-carboxylate given] modulated M1 and M4 receptors with activity at 10^{-2} μ M
AN 2007.998619 CAPLUS <<LOGINID::20111010>>
DN 147.322962
TT Preparation of spiro condensed piperidines as modulators of muscarinic receptors

Makings, Lewis D.; Garcia-Guzman Blanco, Michael; Hurley, Dennis J.; Drutu, Ioana; Raffai, Gabriel; Bergeron, Daniele M.; Nakatani, Akiko; Termin, Andreas D.; Sillina, Alina
Vertex Pharmaceuticals Incorporated, USA
DOC Int. Appl. 86 pp.
CONFIRM. PIXXD2
Date of Patent
English
PATENT NO. 20070100670
KIND A1
DATE 20070907
APPLICATION NO. WO/2007-1154745
DATE 20070222
DI WO/20070100670 A1 20070907 WO/2007-1154745 20070222
W. AF AG AT AM AP AU AZ BA BB BC BD BE BF BG BH BI BJ BK BL BM BN BO BP BR BS BT BU BV BW BX BY
CA CB CC CD CE CF CG CH CI CJ CK CL CM CN CO CP CQ CR CS CT CU CV CW CX CY CZ DA DB DE DF DG DH DI DJ DK DL DM DN DO DP
EQ ER ES ET EU EV EW EX FY FZ GA GB GC GD GE GF GG GH GI GJ GK GL GM GN GO GP GQ GR GS GT GU GV GW GX GY GZ HA HB HC HD HE HF HG
HH HI HL HM HN HO HP HQ HR HS HT HU HV HW HX HY HZ IA IB IC ID IE IF IG IH II IL IM IN IO IP IQ IR IS IT IU IV IW IX IY IZ
JA JB JC JD JE JF JG JH JI JJ JK JL JM JN JO JP JQ JR JS JT JU JV JW JX JY JZ KA KB KC KD KE KF KG KH KI KJ KL KM KN KO KP
KQ KR KS KT KU KV KW KX KY KZ LA LB LC LD LE LF LG LH LI LJ LK LM LN LO LP LQ LR LS LT LU LV LW LX LY LZ MA MB MC MD ME MF MG MH MI MJ MK
ML MN MO MP MQ MR MS MT MU MV MW MX MY MZ NA NB NC ND NE NF NG NH NI NJ NK NL NM NN NO NP NQ NR NS NT NU NV NW NX NY NZ
OA OB OC OD OE OF OG OH OI OJ OK OL OM ON OP OQ OR OS OT OU OV OW OX OY OZ PA PB PC PD PE PF PG PH PI PJ PK PL PM PN PO PP
PQ PR PS PT PU PV PW PX PY PZ QA QB QC QD QE QF QG QH QI QJ QK QL QM QN QO QP QQ QR QS QT QU QV QW QX QY QZ RA RB RC RD RE RF RG RH RI RJ RK
RL RM RN RO RP RQ RS RT RU RV RW RX RY RZ SA SB SC SD SE SF SG SH SI SJ SK SL SM SN SO SP SQ SR SS ST SU SV SW SX SY SZ TA TB TC TD TE TF TG TH TI TJ TK
TL TM TN TO TP TQ TR TS TT TU TV TW TX TY TZ UA UB UC UD UE UF UG UH UI UJ UK UL UM UN UO UP UQ UR US UT UV UW UX UY UZ VA VB VC VD VE VF VG VH VI VJ VK
VL VM VN VO VP VQ VR VS VT VU VV VW VX VY VZ WA WB WC WD WE WF WG WH WI WJ WK WL WM WN WO WP WQ WR WS WT WU WV WW WX WY WZ XA XB XC XD XE XF XG XH XI XJ XK
XL XM XN XO XP XQ XR XS XT XU XV XW XX XY XZ YA YB YC YD YE YF YG YH YI YJ YK YL YM YN YO YP YQ YR YS YT YU YV YW YX YY YZ ZA ZB ZC ZD ZE ZF ZG ZH ZI ZJ ZK
ZL ZM ZN ZO ZP ZQ ZR ZS ZT ZU ZV ZW ZX ZY ZZ
AII 2007221220 A1 20070907 AII 2007-221220 20070222
CA 2642649 A1 20070907 CA 2007-2642649 20070222
EP 1987034 A1 20081105 EP 2007-751501 20070222
EP 1987034 R1 20110720
D. AT BE BG CH CY CZ DE DK EE ES ET EU GB GR HI IE
IS IT LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
RA RD RE RF
RD 2009527569 T 20090730 TD 2008-556443 20070222
AT 517106 T 20110815 AT 2007-751501 20070222
MY 2008010754 A 20080829 MY 2008-10754 20080821
ZA 2008007236 A 20090826 ZA 2008-7236 20080821
TN 2008KN03676 A 20090220 TN 2008-KN03676 20080908
NO 2008004007 A 20081007 NO 2008-4007 20080919
KP 2008098070 A 20081106 KP 2008-7022941 20080919
CN 101479266 A 20090708 CN 2007-80014513 20081022
US 20090227614 A1 20090910 US 2009-224270 20090216
US 2006-775501D D 20060222
US 2006-775524D D 20060222
WO 2007-1154745 W 20070222
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
MADDPAT 147.222962
IT ***947724-98-2***
DI.. RCT (Reactant). RACT (Reactant or reagent)
(prepn of spiro condensed piperidines as modulators of muscarinic
receptors)
RN 947724-98-2 CADTUS
CN Spiro[3H-indole-3,4'-piperidinyl]-1'(2H)-carboxamide,
N,N-dimethyl-1'-(4-piperidinyl)- (CA INDEX NAME)
/ Structure 33 in file .gra /
IT ***947724-94-9D***
DI.. RCT (Reactant). SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn of spiro condensed piperidines as modulators of muscarinic
receptors)
RN 947724-94-9 CAPLUS

8-Azabicyclo[3.2.1]octane-8-carboxylic acid
3-[1-[1-[(dimethylamino)carbonyl]-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl]-, 1,1-dimethylethyl ester, hydrochloride (1:1) (CA INDEX NAME)

/ Structure 34 in file .gra /

OSCG 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
DE CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

1.2 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2011 ACS on STN
2.1

/ Structure 35 in file .gra /

AN Title Comps [I. P1 = H alkyl aryl aralkyl heteroaryl
heteroarylalkyl cycloalkyl heterocyclyl etc. P1P2 P1P3 = atoms to
form heterocyclyl heteroaryl. P2 = SO2P2. P3 = H alkyl Ac, CF3,
DN (substituted) aralkyl. P4 = halo alkyl alkoxy cyano amino
TT (CH2)P2. P2 = (substituted) cycloalkyl cycloalkylalkyl,
heterocyclyl heterocyclylalkyl aryl aralkyl heteroaryl
heteroarylalkyl alkyl alkenyl alkynyl. Y = CH2P2 CH2P2 NSO2P2 CO,
CHP2 MP2 etc. Y = (CH2)n O CO ACH. A = alkyl. Z = atoms to form an
aryl heteroaryl ring. P9 = H (substituted) alkyl aralkyl
cycloalkylalkyl heterocyclylalkyl heteroarylalkyl. m = 0-6. n = 0-21
were prepnd. This title compd (II) (soln phase prep outlined) s.c. in
2005.451193 CAPLUS <<LOGINID::20111010>>
142.482318

Preparation of spiroindoleniniperidine amino acid amides as modulators of
the growth hormone secretagogue receptor (GHS-R)
Distefano, Peter; Manner, Andrew; Navia, Manuel A.; Curtis, Perry A.; Luly,
DA Jav. Bone Jean-Francois; Thomas, Russell J.; Saunders, Jeffrey O.
SO Eli Lilly Pharmaceuticals, Inc., USA
PAT Int Appl., 113 pp.
DT CODEN: PIXXD2

English
FAM CNT 1

DATE	NO	KIND	DATE	APPLICATION NO	DATE
WO	2005016682	A1	20050526	WO 2004-112687	20041104
W.	AF AG AT AM AT AH AZ BA BB BC BD BE BF BG BH BI BJ BK BL BM BN BO BP BQ BR BS BT BU BV BW BX BY BZ CA CB CC CD CE CF CG CH CI CJ CK CL CM CN CO CP CQ CR CS CT CU CV CW CX CY CZ DA DB DC DD DE DF DG DH DI DJ DK DL DM DN DO DP DQ DR DS DT DU DV DW DX DY DZ EA EB EC ED EE EF EG EH EI EJ EK EL EM EN EO EP EQ ER ES ET EU EV EW EX EY EZ FA FB FC FD FE FF FG FH FI FJ FK FL FM FN FO FP FQ FR FS FT FU FV FW FX FY FZ GA GB GC GD GE GF GH GI GJ GK GL GM GN GO GP GQ GR GS GT GU GV GW GX GY GZ HA HB HC HD HE HF HG HH HI HJ HK HL HM HN HO HP HQ HR HS HT HU HV HW HX HY HZ IA IB IC ID IE IF IG IH II IJ IK IL IM IN IO IP IQ IR IS IT IU IV IW IX IY IZ JA JB JC JD JE JF JG JH JI JJ JK JL JM JN JO JP JQ JR JS JT JU JV JW JX JY JZ KA KB KC KD KE KF KG KH KI KJ KL KM KN KO KP KQ KR KS KT KU KV KW KX KY KZ LA LB LC LD LE LF LG LH LI LJ LK LL LM LN LO LP LQ LR LS LT LU LV LW LX LY LZ MA MB MC MD ME MF MG MH MI MJ MK ML MN MO MP MQ MR MS MT MU MV MW MX MY MZ NA NB NC ND NE NF NG NH NI NJ NK NL NM NO NP NQ NR NS NT NU NV NW NX NY NZ OA OB OC OD OE OF OG OH OI OJ OK OL OM ON OP OQ OR OS OT OU OV OW OX OY OZ PA PB PC PD PE PF PG PH PI PJ PK PL PM PN PO PP PQ PR PS PT PU PV PW PX PY PZ QA QB QC QD QE QF QG QH QI QJ QK QL QM QN QO QQ QR QS QT QU QV QW QX QY QZ RA RB RC RD RE RF RG RH RI RJ RK RL RM RN RO RP RQ RR RS RT RU RV RW RX RY RZ SA SB SC SD SE SF SG SH SI SJ SK SL SM SN SO SP SQ SR SS ST SU SV SW SX SY SZ TA TB TC TD TE TF TG TH TI TJ TK TL TM TN TO TP TQ TR TS TT TU TV TW TX TY TZ UA UB UC UD UE UF UG UH UI UJ UK UL UM UN UO UP UQ UR US UT UV UW UX UY UZ VA VB VC VD VE VF VG VH VI VJ VK VL VM VN VO VP VQ VR VS VT VU VV VW VX VY VZ WA WB WC WD WE WF WG WH WI WJ WK WL WM WN WO WP WQ WR WS WT WU WV WW WX WY WZ XA XB XC XD XE XF XG XH XI XJ XK XL XM XN XO XP XQ XR XS XT XU XV XW XX XY XZ YA YB YC YD YE YF YG YH YI YJ YK YL YM YN YO YP YQ YR YS YT YU YV YW YX YY YZ ZA ZB ZC ZD ZE ZF ZG ZH ZI ZJ ZK ZL ZM ZN ZO ZP ZQ ZR ZS ZT ZU ZV ZW ZX ZY ZZ				
CA	2544602	A1	20050526	CA 2004-2544602	20041104
US	20050187237	A1	20050825	US 2004-982997	20041104
US	7504506	B2	20090317		
EP	1682136	A1	20060726	EP 2004-800764	20041104

D. AT RF CH DE DK ES EP GR GD HT IL IH MI, SE, MC, PT,
 CN 1901908 T 20070124 CN 2004-80039713 20041104
 TD 2007510662 T 20070426 TD 2006-538496 20041104
 IN 2006DM02346 A 20070803 IN 2006-DM2346 20060427
 MY 2006005038 A 20071212 MY 2006-5038 20060504
 IIS 20090264410 A1 20091022 US 2009-367585 20090209
 IIS 7897765 R2 20110301
 DDAT IIS 2003-517058D D 20031104
 IIS 2004-982997 A1 20041104
 WO 2004-IIS26870 W 20041104
 ASSIGNMENT HISTORY FOR IIS PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OS CASPACT 142.482318 MARPAT 142:482318
 IT ***950835-73-1D***
 PI. DAC (Pharmacological activity). SDN (Synthetic preparation). THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (Prep of spiroindolenine-derivative amino acid amides as modulators of
 growth hormone secretagogue receptor)
 950835-73-1 CASPACT
 Carboxylic acid N-[1-(1D)-2-[1,2-dihydro-1-(2-methoxyacetyl)spiro[3H-indole-
 3,4'-piperidin]-1'-yl]-2-oxo-1-[1-(phenylmethoxy)methyl]ethyl]-,
 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 36 in file .gra /

OSC 2 3 THERE ARE 3 CASPACT RECORDS THAT CITE THIS RECORD (3 CITINGS)
 RE CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file registry

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
----------------------	------------	-------

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

TSCA INFORMATION NOW CURRENT THROUGH June 24, 2011.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
 predicted properties as well as tags indicating availability of
 experimental property data in the original document. For information
 on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=> file registry

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	1.02	262.86
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-6.96

FILE 'REGISTRY' ENTERED AT 14.28.36 ON 10 OCT 2011
USE IS SUBJECT TO THE TERMS OF VOID STN CUSTOMER AGREEMENT.
PLEASE SEE 'FIELD USAGE TERMS' FOR DETAILS
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 9 OCT 2011 HIGHEST RN 1334702-53-2
DICTIONARY FILE UPDATES: 9 OCT 2011 HIGHEST RN 1334702-53-2

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

TSCA INFORMATION NOW CURRENT THROUGH June 24, 2011.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

~\Uploading C:\Users\rdesai\Documents\STN Express 8.4\Queries\10581175.str
L9 STRUCTURE UPLOADED

~\ ^ 19
T.9 HAS NO ANSWERS
T.9 CTD
/ Structure 37 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

~\ s 19 full
FULL SEARCH INITIATED 14.29.09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13163 TO ITERATE
100.0% PROCESSED 13163 ITERATIONS 4570 ANSWERS
SEARCH TIME: 00.00.01

L10 4570 SEA SSS FUL L9

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for records published or updated in Chemical Abstracts after December
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strictly prohibited.

FILE COVERS 1907 - 10 Oct 2011 VOL 155 ISS 16
FILE LAST UPDATED: 9 Oct 2011 (20111009/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2011

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2011.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
--> s 110
L11 108 L10
--> s 111 and py<2003
23002482 PY<2003
L12 44 L11 AND PY<2003
--> d abs hitstr 4044
44 ANSWERS ARE AVAILABLE. SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE
THE ANSWER NUMBERS REQUESTED ARE NOT IN THE ANSWER SET.
ENTER ANSWER NUMBER OR RANGE (1):40-44
```

J12 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2011 ACS on STN

CI

/Structure 38 in file .gra /

AB o-Phenylacetoneitriles were converted to spiro comds. I (R = H, alkyl, cyano, alkanoyl, CO2R, phenylalkyl, phenylalkanoyl, alkenyl, cycloalkylalkyl, omega-benzoylalkyl (or its ethylene ketal), R1 = H, alkyl, R2 and R3 (same or different) are H, halo, CF3, alkyl, alkoxy, OH, NO2, NH2, NHCHO, NHAc, R4 = H, halo, alkyl, alkoxy, OH) in a series of reactions. I exhibited antidepressant and anticonvulsant activity and they are useful as tranquilizers (no data). The cycloalkylation of 4-FC6H4CH2CN by (ClCH2CH2)2NMe gave 4-cyano-4-(2-fluorophenyl)-1-methylpiperidine, the product was heated with LiAlH4 to give 1'-methylspiro[indoline-3,4'-piperidine], and the latter was N-acylated by 4-FC6H4CF3 to I (R = Me, R3 = 4-CF3, R1 = R2 = R4 = H). 1980-604474 CAPLUS <<LOGINID::20111010>> 92.204474

AN 92.22621a 22621a
DN Spiro[indoline-3,4'-piperidine]
OPFF One Helen W. Profitt, James A.
TI American Hoechst Corp. USA
TM U.S. 12 pp. Cont.-in-part of U.S. Ser. No. 789,723, abandoned.
DA CODEN. USXXAM
SO Patent
DT English
JA
FAM CMT 2

	PATENT NO	KIND	DATE	APPLICATION NO	DATE
DT	US 4209625	---	19780624	US 1978-026125	19780222 <--
	DE 2816280	A1	19781207	DE 1978-2816280	19780415 <--
	FI 7801208	A	19781022	FI 1978-1208	19780419 <--
	DK 7801736	A	19781022	DK 1978-1736	19780420 <--
	NL 7804246	A	19781024	NL 1978-4246	19780420 <--

AB Sniroindolinipiperidines I (R = substituted phenylalkyl, phenoxyalkyl, benzoylalkyl, phenylalkyl, phenyl (hydroxy)alkyl, benzodioxanyl, naphthyl, oxymethyl, R₁ = H, C₁₋₄ alkyl, R₂ = optionally substituted by halogen, C₁₋₄ alkyl, alkoxy, R₃ = H, R₁R₂ = C₁₋₄ alkylene, Y = O, H₂) were prepared for use as antihypertensives and central nervous system depressants (no data). Thus N-methylindole was treated with (ClCH₂CH₂)₂NCCH₂Ph to give I (R = CH₂Ph, R₁ = Me, R₂ = H, Y = O), which was dehydrated and treated with 4-chloro-1-(4-fluorophenyl)-1-ethylenedioxybutane to give I (R = 4-FC₆H₄CO(CH₂)₂, R₁ = Me, R₂ = H, Y = O).

AN 1979.121443 CAPLUS <<LOGINID::20111010>>

DN 90.121443

CPFW 90.192233 192263

TI Sniranic amine derivatives

DA Sniranic Chemical Co., Ltd., Japan

SO Belg., 22 pp.

CODEM. BEXXAL
 Patent
 French
 CNT 1
 DATE NO KIND DATE ADDICTION NO DATE
 DT DE 867517 -A1- 19780918 DE 1978-188062 19780526 <--
 TD 54109982 A 19790829 TD 1978-15778 19780213 <--
 AT 7926169 A 19791122 AU 1978-36169 19780516 <--
 AT 511735 R2 19800904 DE 1978-282227 19780522 <--
 DE 2822227 A1 19790816 DE 1978-470155 19780524 <--
 ES 470155 A1 19790101 ES 1978-5949 19780524 <--
 SE 7905949 A 19790814 CH 1978-5662 19780524 <--
 CH 625841 A5 19820429 FR 1978-15606 19780525 <--
 FD 2412845 A1 19800627 FR 1978-5814 19780529 <--
 FD 2412845 R1 19810724 AT 1978-3888 19780529 <--
 NT 7805814 A 19790815 CA 1978-304287 19780529 <--
 AT 7803888 A 19790915 US 1978-910537 19780530 <--
 AT 256108 R 19800410
 CA 1092106 A1 19801223
 US 4233307 A 19801111
 TD 1978-15778 A 19780213
 DRAT MADPAT 90.121443
 OS ***67677-69-4D*** ***67677-70-7P*** ***69214-85-3P***
 IT ***69214-89-7D***
 RT. SDN (Synthetic preparation); PREP (Preparation)
 (prep of)
 BN 67677-69-4 CAPLUS
 CN 1-Butanone 4-(1-ethyl-1,2-dihydro-1-methylspiro[3H-indole-3,4'-piperidin]-1'-yl)-
 1-(4-fluorophenyl)- (CA INDEX NAME)
 / Structure 42 in file .gra /
 BN 67677-70-7 CAPLUS
 CN 1-Butanone 4-(1-ethyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-
 (4-fluorophenyl)-, hydrochloride (1:2) (CA INDEX NAME)
 / Structure 43 in file .gra /
 BN 69214-85-3 CAPLUS
 CN Spiro[3H-indole-3,4'-piperidin]-1,2-dihydro-1-methyl-1'-(2-phenylethyl)-
 , hydrochloride (1:2) (CA INDEX NAME)
 / Structure 44 in file .gra /
 BN 69214-89-7 CAPLUS
 CN Spiro[3H-indole-3,4'-piperidin]-1'-ethanol
 1-ethyl-1,2-dihydro-.alpha.-(1-naphthalenylmethyl)- (CA INDEX NAME)
 / Structure 45 in file .gra /
 OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
 I12 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2011 ACS on STN
 CI
 / Structure 46 in file .gra /

contrast, two piperidinespiroindolenines did not rearrange to
 beta-carbolines even under very vigorous acidic treatment. The
 relevance of these reactions to a new theory of electrophilic substitution
 in 3-substituted indoles and the biogenesis of indole alkaloids is
 discussed. 30 references.

1968.29406 CAPLUS <<LOGINID::20111010>>
 68.29406
 68.76423 76462
 Electrophilic substitution in indoles. II. Formation of 3,3-spirocyclic
 indole derivatives from tryptamines and their rearrangement to
 beta-carbolines.

Jackson, Anthony Hugh; Smith, Allan Edward
 Univ. Liverpool, Liverpool, UK
 Tetrahedron (***1968***) 24(1), 403-13
 CODEN: TETRAB; ISSN: 0040-4020

Journal
 English

16979-90-1P ***16979-91-2P*** ***16979-92-3P***
 16979-97-8D ***19326-24-0D***
 DT. SDN (Synthetic preparation); PREP (Preparation)
 (Prep. of)
 16979-90-1 CADIJIS
 Spiro[3H-indole-3,4'-piperidin]-2-ol, 1,2-dihydro-1,1'-dimethyl- (CA
 INDEX NAME)

/ Structure 50 in file .gra /

16979-91-2 CADIJIS
 Spiro[3H-indole-3,4'-piperidin]-2-ol, 1,2-dihydro-1,1'-dimethyl-, compd.
 with 2,4,6-trinitrophenol (1:2) (CA INDEX NAME)
 CM 1
 CPM 16979-90-1
 CMF C14 H20 N2 O

/ Structure 51 in file .gra /

CM 2
 CPM 88-89-1
 CMF C6 H3 N3 O7

/ Structure 52 in file .gra /

16979-92-3 CADIJIS
 Spiro[3H-indole-3,4'-piperidin]-2-ol, 1,2-dihydro-1,1'-dimethyl-, compd.
 with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)
 CM 1
 CPM 16979-90-1
 CMF C14 H20 N2 O

/ Structure 53 in file .gra /

CM 2
CDN 88-88-1
CMF C6 H3 N3 O7

/ Structure 54 in file .gra /

DN 16979-97-8 CADIJIS
CN Spiro[3H-indole-3,4'-piperidin]-2-ol, 1,2-dihydro-5-methoxy-1,1'-dimethyl-
(CA INDEX NAME)

/ Structure 55 in file .gra /

DN 19326-24-0 CADIJIS
CN Spiro[3H-indole-3,4'-piperidin]-2-ol
1,2-dihydro-5-methoxy-1,1'-dimethyl-, hydrochloride (1:?) (CA INDEX NAME)

/ Structure 56 in file .gra /

OSCG 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

I.12 ANSWER 44 OF 44 CADIJIS COPYRIGHT 2011 ACS on STN
ET For diagram(s) see printed CA Issue
AR Comps of the general structure (I) are prepared. The compd (II) (T P =
MeNHCO₂ P' = P'' = H) has only 0.01-0.001 the cholinesterase-inhibiting
potency of physostigmine; other I derive are completely inactive. Addn.
of 29.7 g NaNH₂ to 68 g 1-methyl-5-ethoxyoxindole and 61 g
MeN(CH₂CH₂Cl)₂ (III) in 350 ml PhMe at 35-45 degree refluxing addn of
10 ml EtOH after cooling extn with water and with 2 N HCl addn of
NH₄OH to the HCl extn diln to 2 l ether extn evapn. of the ether,
and taking the residue in petr ether gives 46.5 g
5-ethoxy-1,1'-dimethylspiro[piperidine-4,3'-ethoxyindole] (IV) m
82-3 degree. LiAlH₄ (19.4 g) in 100 ml ether added to 19.4 g IV in
400 ml tetrahydrofuran under N gives the compd (V) (T P = OEt P' = P''
= H) mono-HCl salt m 233-5 degree di-HCl salt m 231-3 degree.. IV
in xylene refluxed with P₂S₅ and K₂S₂ and extd with CHCl₃ gives
5-ethoxy-1,1'-dimethylspiro[piperidine-4,3'-thioxindole] m
133-7 degree which gives V on electrolytic reduction in AcOH and 50%
H₂SO₄ and purification by chromatographing on Al₂O₃. Heating 6 g V 2HCl,
and 50 g pyridine-HCl to 180-90 degree adding water and NH₄OH and
extg with CHCl₃ gives the compd (VI) (T P = OH P' = P'' = H) m
192-8 degree (from Me₂CO) very unstable to air. VI (2.2 g) treated
dropwise with cooling with 3 drops NEt₃ and 7 ml MeNCO the mixt extd
with C₆H₆ the ext washed with NaOH and water and the C₆H₆ extd gives
700 mg II m 140-1 degree (from C₆H₆-petr ether). From m-EtOC₆H₄NHMe,
b15 138-40 degree (N-Bz deriv m 100-10 degree) is prepd
N-methyl-N-chloroacetyl-m-phenetidine m 73-4 degree which gives
1-methyl-6-hydroxyoxindole m 209-10 degree.. 1-Methyl-6-ethoxyoxindole,
m 72-3 degree TTT and NaNH₂ give
6'-ethoxy-1,1'-dimethylspiro[piperidine-4,3'-oxindole] m 125-6 degree.;
the corresponding thioxindole m 133-4 degree is reduced
electrolytically to I (P = P'' = H P' = OEt) m 68-9 degree (from
pentane). Refluxing 71.6 g o-phenacetin with 9.2 g powd Na in 200 ml
C₆H₆ decanting from the excess Na warming the soln with 22 g Me₂SO₄,
washing with water and dil HCl evapn the C₆H₆ in vacuo taking the
residue in 200 ml alc and 20 ml water contg 56 g NaOH heating 48
hrs evapn the alc adding water extg with ether extg the ether
with 2 N HCl adding NH₃ and again extg with ether gives 20.6 g
N-methyl-o-phenetidine, b15 124-30.degree.; N-(p-nitrobenzoyl) deriv., m.

152-2 degree. N-Methyl- α -chloro- α -acetophenetidide m.
 42-3 degree (6.84 α), and 6.84 α AlCl₃ heated to 150 degree, then to
 190 degree with an addnl 6.84 α AlCl₃ dil. HCl added, and the mixt
 extd. with CHCl₃ gives on NaOH extn. 1 α 1-methyl-7-hydroxyindole, m.
 227-8 degree (from C₆H₁₂) and from the CHCl₃ soln. 1.6 α
 1-methyl-2(3H)-benzoxazolone, m. 56-7 degree (from petr. ether), λ_{max}
 reduction of 1,1'-dimethylspiro[piperidine-4,3'-oxindole] gives 1(D-R' =
 R' = H), m. 49-50 degree. (HCl salt, m. 243-5 degree.; salicylate, m.
 101-2 degree.)
 1952-57258 CAPLUS <<LOGINID::20111010>>
 46.57258
 46.95712-i 95722-h
 The synthesis of anhysoctigminelike compound
 Kretz, E.; Miller, J. M.; Schlittler, E.
 Univ. Basel, Switz.
 Helvetica Chimica Acta (***1952***), 35, 520-8
 CODEN: HCACAV; ISSN: 0018-019X
 Journal
 German
 860420-54-8 Spiro[indoline-3,4'-piperidine],
 5-ethoxy-1,1'-dimethyl-
 (and hydrochlorides)
 860420-54-8 CADIJIS
 Spiro[3H-indole-3,4'-piperidine], 5-ethoxy-1,2-dihydro-1,1'-dimethyl- (CA
 INDEX NAME)

/ Structure 57 in file .gra /

845825-82-3, Spiro[indoline-3,4'-piperidine], 1,1'-dimethyl-
 (and salts)
 845825-82-3 CADIJIS
 Spiro[3H-indole-3,4'-piperidine], 1,2-dihydro-1,1'-dimethyl- (CA INDEX
 NAME)

/ Structure 58 in file .gra /

845825-82-4D Salicylic acid, comds. with
 1,1'-dimethylspiro[indoline-3,4'-piperidine] ***860420-41-3D***
 Spiro[indoline-3,4'-piperidine]-5-ol, 1,1'-dimethyl- methylcarbamate,
 (ester) ***860420-44-6D*** Spiro[indoline-3,4'-piperidine]-5-ol
 1,1'-dimethyl- ***860420-51-5P***, Spiro[indoline-3,4'-piperidine],
 6-ethoxy-1,1'-dimethyl-
 PT.. DREFD (Preparation)
 (prep. of)
 845825-82-4 CADIJIS
 Benzoic acid, 2-hydroxy-, comd. with
 1,2-dihydro-1,1'-dimethylspiro[3H-indole-3,4'-piperidine] (1:1) (CA INDEX
 NAME)
 CM 1
 CPM 845825-82-3
 CMF C14 H20 N2

/ Structure 59 in file .gra /

CM 2

CPM 60-72-7
 CMF C7 H6 O3
 / Structure 60 in file .gra /
 PM 860420-41-3 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidin]-5-ol, 1,2-dihydro-1,1'-dimethyl-,
 5-(N-methylcarbamate) (CA INDEX NAME)
 / Structure 61 in file .gra /
 PM 860420-44-6 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidin]-5-ol, 1,2-dihydro-1,1'-dimethyl- (CA
 INDEX NAME)
 / Structure 62 in file .gra /
 PM 860420-51-5 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidine], 6-ethoxy-1,2-dihydro-1,1'-dimethyl- (CA
 INDEX NAME)
 / Structure 63 in file .gra /

Connecting via Winsock to STN at pto-stn on port 23

Welcome to STN International! Enter x:X
 LOGINID:SSSPTA1612RXD
 PASSWORD.
 THIS LOGINID IS CURRENTLY IN USE
 DO YOU WISH TO RESUME THE PREVIOUS SESSION? Y/(N)/?:Y
 THE PREVIOUS SESSION IS BEING DISCONNECTED.
 PLEASE LOG IN AGAIN TO BE RECONNECTED
 SYSTEM LOGOFF AT 15:10:54 ON 10 OCT 2011 US EASTERN TIME

Connection closed by remote host

A new logon attempt will be made when this window closes. If
 you chose to RESUME PREVIOUS SESSION then continue with the
 logon process as normal. If not, choose Cancel or <ESC> to
 interrupt the logon process.

Connecting via Winsock to STN at pto-stn on port 23

Welcome to STN International! Enter x:X

LOGINID:SSSPTA1612RXD

PASSWORD:

***** RECONNECTED TO STN INTERNATIONAL *****
SESSION RESUMED IN FILE 'STNCHIDE' AT 15.11.18 ON 10 OCT 2011
FILE 'STNCHIDE' ENTERED AT 15.11.18 ON 10 OCT 2011
COPYRIGHT (C) 2011 AMERICAN CHEMICAL SOCIETY (ACS)
COST IN U S DOLLARS

	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	5.36	498.80
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)		
CAS SUBSCRIBER PRICE	0.00	-11.31

53-2

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<http://www.cas.org/legal/infopolicy.html>

TSCA INFORMATION NOW CURRENT THROUGH June 24, 2011.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

Uploading C:\Users\rdesai\Documents\STN Express 8.4\Queries\10581175.str

L13 STRUCTURE UPLOADED

-> d 113
T.13 HAS NO ANSWERS
T.13 CTD
/ Structure 64 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

-> s 113
SAMPLE SEARCH INITIATED 15.11.58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 475 TO ITERATE
100.0% PROCESSED 475 ITERATIONS 48 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROTECTIONS. ONLINE ***COMPLETE***
PROJECTED ITERATIONS. BATCH ***COMPLETE***
PROJECTED ANSWERS: 2193 TO 10207
545 TO 1375

```

L14      48 SEA SSS SAM L13
-> s l13 full
FULL SEARCH INITIATED 15.12.04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 9929 TO ITERATE
100.0% PROCESSED 9929 ITERATIONS 1070 ANSWERS
SEARCH TIME: 00.00.01
L15      1070 SEA SSS FUL L13
-> file caplus
C
FILE COVERS 1907 - 10 Oct 2011 VOL 155 ISS 16
FILE LAST UPDATED: 9 Oct 2011 (20111009/EN)
REVISED CLASS FIELDN (/NCL) LAST RELOADED: Aug 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2011
Capius now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2011.
CAS Information Use Policies apply and are available at:
http://www.cas.org/legal/infopolicy.html
This file contains CAS Registry Numbers for easy and accurate
substance identification.
-> s l15
L16      53 L15
-> d abs bib hitstr 45-53
L16 ANSWER 45 OF 53 CAPLUS COPYRIGHT 2011 ACS on STN
CI
/ Structure 65 in file .gra /
AB Dineridinopropylpiperidine derivate were prepared for use as human NK2
receptor antagonists (no data). Thus, 3,4-dichlorobenzylamine was treated with
CH2=CHCO2Me to give 3,4-dichlorobenzylamine (CH2CH2CO2Me)2 which was cyclized to
the piperidinopropylamine and reduced to
3,4-dichlorobenzyl-1,2,3,4-tetrahydropiperidine (T). T was
N-benzoylated, converted to the mesylate, and aminated to give the
piperidinopropylpiperidine II.
AN 1997.302959 CAPLUS <<LOGINID::20111010>>
DN 126.277403
OPRF 126.537753 537783
TI Novel human NK2 receptor-selective antagonist compounds containing them
TN Richon, Daniel; Edmonds-Alt, Xavier; Gueule, Patrick; Proietto, Vincenzo;
DA Van Broeck, Didier
SO Sanofi, Fr
CO BCT Int Appl., 189 pp.
CODEN PIXXD2
DT Patent
LA French
FAM CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

```

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

1.16 ANSWER 46 OF 53 CADIJIS COPYRIGHT 2011 ACS on STM
 AR A method for solid phase synthesis of spiroindolines using the Fischer
 indole reaction is describe. Various arylhydrazines react cleanly with
 polymer bound piperidine-4-carboxaldehyde in TFA/CH2Cl2. The products are
 isolated in good yields and high purity.
 AN 1997.168875 CAPLUS <<LOGINID::20111010>>
 DN 126.277373
 OREF 126.527713 527713
 TI Solid phase synthesis of spiroindoline
 AU Cheng, Yuan; Chanman, Kevin T
 CS Den. Mol. Design Diversity Merck Res Lab Rahway, NJ, 07065, USA
 SO Tetrahedron Letters (1997) 38(9), 1497-1500
 CDEN: TELEAY; ISSN: 0040-4039
 DR Elsevier
 DT Journal
 TA English
 OS CASREACT 126.277373
 IT ***188646-86-8D*** ***188646-87-9D*** ***188646-88-0D***
 188646-89-1D ***188646-90-4D*** ***188646-91-5D***
 188646-92-6D ***188646-93-7D*** ***188646-94-8D***
 188646-95-9D ***188646-96-0D*** ***188646-97-1D***
 188646-98-2D ***188646-99-3D*** ***188647-00-9P***
 188647-02-1D ***188647-03-2D***
 DT. SDN (Synthetic preparation): DPED (Preparation)
 (solid phase synthesis of spiroindolines)
 DN 188646-86-8 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 68 in file .gra /

DN 188646-87-9 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-7-bromo-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 69 in file .gra /

DN 188646-88-0 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-.gamma.-oxo-5-(trifluoromethyl)-, methyl ester (CA
 INDEX NAME)

/ Structure 70 in file .gra /

DN 188646-89-1 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-4,6-dichloro-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX
 NAME)

/ Structure 71 in file .gra /

DN 188646-90-4 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-6-nitro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 72 in file .gra /

DN 188646-91-5 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-5-nitro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 73 in file .gra /

DN 188646-92-6 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-5,6-dichloro-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 74 in file .gra /

DN 188646-93-7 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-5,7-dichloro-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 75 in file .gra /

DN 188646-94-8 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-.gamma.-oxo-4,6-bis(trifluoromethyl)-, methyl ester (CA INDEX NAME)

/ Structure 76 in file .gra /

DN 188646-95-9 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-5-(1,1-dimethylethyl)-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 77 in file .gra /

DN 188646-96-0 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-.gamma.-oxo-5-(phenylmethoxy)-, methyl ester (CA INDEX NAME)

/ Structure 78 in file .gra /

DN 188646-97-1 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-4,7-dimethyl-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 79 in file .gra /

DN 188646-98-2 CADIJIS
 CN Spiro[3H-indole-3,4'-piperidinel-1'-butanoic acid
 1-acetyl-1,2-dihydro-5-methoxy-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 80 in file .gra /
DN 188646-99-3 CADIJIS
CN Spiro[3H-indole-3,4'-piperidinal-1'-butanoic acid
1-acetyl-1,2-dihydro-.gamma.-oxo-5-(trifluoromethoxy)-, methyl ester (CA
INDEX NAME)

/ Structure 81 in file .gra /
DN 188647-00-9 CADIJIS
CN Spiro[3H-indole-3,4'-piperidinal-1'-butanoic acid
1-acetyl-1,2-dihydro-5-(2-oxazolyl)-.gamma.-oxo-, methyl ester (CA INDEX
NAME)

/ Structure 82 in file .gra /
DN 188647-02-1 CADIJIS
CN Spiro[3H-indole-3,4'-piperidinal-1'-butanoic acid
1-acetyl-1,2-dihydro-4-nitro-.gamma.-oxo-, methyl ester (CA INDEX NAME)

/ Structure 83 in file .gra /
DN 188647-03-2 CADIJIS
CN Spiro[3H-indole-3,4'-piperidinal-1'-butanoic acid
1-acetyl-4,5-dichloro-1,2-dihydro-.gamma.-oxo-, methyl ester (CA INDEX
NAME)

/ Structure 84 in file .gra /
OSCG 41 THERE ARE 41 CADIJIS RECORDS THAT CITE THIS RECORD (41 CITINGS)
PR CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

T:16 ANSWER 47 OF 53 CAPLUS COPYRIGHT 2011 ACS on STN
CI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB There are disclosed certain novel comds identified as spiro piperidines
and homologs I and II wherein: P1 = a C1-10 alkyl, aryl, aryl-(C1-6
alkyl), P2 = a C1-6 alkyl, C3-7 cycloalkyl, P3a and P3b are
independently, a C1-6 alkyl, C3-7 cycloalkyl, P4 and P5 are independently, H,
C1-6 alkyl, substituted C1-6 alkyl where the substituents on alkyl are, H,
C1-6 alkyl, 1 to 5 halo, 1 to 3 hydroxy, P6 is H or C1-6 alkyl, A is
(CH2)xCP7P7a(CH2)y or 7(CH2)xCP7P7a(CH2)y wherein x and y are
independently 0, 1, 2, or 3, Z is NP2 or O, P7 and P7a are independently,
a C1-6 alkyl, CP2, R, D, E and F are independently selected from,
CP2P10, O, CO, SOm, NP9 wherein one or two of R, D, E or F may be
optionally absent to provide a 5, 6 or 7-membered ring, P8 and P10 are
independently, a C1-6 alkyl, P2, CP2, P9 = a C1-6 alkyl, P2, CO2P2, SO2P2, m is 0, 1, or
2, n is 1 or 2, G, H, I and J are carbon, nitrogen, sulfur or oxygen, 1, or
atoms such that one or two is a heteroatom and where one of G, H, I or J
may be optionally absent to afford a 5 or 6 membered heterocyclic arom.
ring; and the pharmaceutically acceptable salts and individual

AN 1996-1469925 CAPLUS <<LOGINID::20111010>>
 DN 125-196272
 OPFF 125-367893 36802a
 TI Spiro niperidines which promote release of growth hormone
 TN Chen, Meng-Hsin; Johnston, David B. R.; Nargund, Ravi P.; Patchett, Arthur
 A. T. Tata, James P. Yang, Lihu
 DA Merck and Co., Inc., USA, Lihu
 SO U.S. 48 pp. Cont.-in-part of U.S. Ser. No. 989, 322, abandoned.
 DT CODEN. USXXAM
 TA Patent
 LA English
 FAN CNT 3

DT

7A	020002772		100408008	7A	1002-02772	10021210
7A	020002774	A	100408008	7A	1002-02774	10021210
TD	06262737	A	100408008	JP	1993-341522	19931210
TD	2500530	R2	10060610			
WD	0201486	R1	20020821	WD	1002-1486	10021210
CN	1002071	A	10040814	CN	1993-112858	19931211
CN	1024722	C	10070420			
ET	0502862	A	10050600	ET	1005-2862	10050600
ET	0502862	A	10050600	ET	1005-2862	10050600
NO	0502294	A	10050810	NO	1005-2294	10050600
NO	0502295	A	10050810	NO	1005-2295	10050600
ITS	5652235	A	10070720	ITS	1996-641311	19960430
DDAT	ITS 1002-089222	R2	10021211			
	ITS 1002-146848		10021102			
	ITS 1002-147226	A	10021102			
	WO 1002-ITS11028	WI	10021115			
	WO 1002-ITS11127	WI	10021115			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OSC MAPDAT 125.106272

TT ***159632-96-2D*** ***159634-43-2D***

PT.: RAC (Biological activity or effector, except adverse); BSH (Biological study, unclassified); FEED (Food or feed use); SPN (Synthetic preparation); THH (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(spiro piperidines which promote release of growth hormone)

DN 159632-96-2 CADIJS

CN Propanamide, N-[2-[(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-amino-2-methyl-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 85 in file .gra /

DN 159634-43-2 CADIJS

CN Propanamide, N-[1(1P)-2-[(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-amino-2-methyl-, (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 86 in file .gra /

TT ***180466-15-2D***

PT.: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(spiro piperidines which promote release of growth hormone)

DN 180466-15-2 CADIJS

CN Carbanic acid, [2-[[2-[(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 87 in file .gra /

OSC 38 THERE ARE 38 CADIJS RECORDS THAT CITE THIS RECORD (60 CITINGS)

RE CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

I.16 ANSWER 48 OF 53 CAPLUS COPYRIGHT 2011 ACS on STN

GI

/ Structure 88 in file .gra /

AB A general approach to spiro-piperidinyl heterocycles I (Z = S, O, NH, NAc)
was obtained from the key intermediates II via an efficient radical
reaction
AN 1996.157222 CAPLUS <<LOGINID::20111010>>
DN 125.221546
OPRF 125.414053 414083
TI Free radical method for the synthesis of spiro-piperidinyl heterocycles
TI Chen Meng-Hsin; Abraham John A
CS Merck Medicinal Chem Merck Res Lab Rahway, NJ, 07065, USA
SO Tetrahedron Letters (1996) 37(30), 5233-5234
CODEN: TELEAY; ISSN: 0040-4039
BR Elsevier
DT Journal
LA English
IT CAPREFACT 125.221546
181271-51-2D
PT. SDN (Synthetic preparation). DREF (Preparation)
(synthesis of spiro-piperidinyl heterocycles)
DN 181271-51-2 CAPLUS
CN Spiro[3H-indole-3,4'-piperidine]-1'-carboxylic acid
1-acetyl-1,2-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

/ Structure 89 in file .gra /

OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
T.16 ANSWER 49 OF 53 CAPLUS COPYRIGHT 2011 ACS on STN
CI

/ Structure 90 in file .gra /

AB Spirocyclic nitrogen-heterocyclic comds were disclosed as tachykinin
receptor antagonists useful for the treatment of inflammatory diseases
pain or migraine and asthma. In particular, said comds were shown to
be neurokinin antagonists. Many example comds are claimed. One such
specific comd is N-[2-(3,4-dichlorophenyl)-4-[1,2-dihydro-1-
(sulfonylmethyl)spiro[3H-indole-3,4'-piperidine]-1'-yl]butyl]-2,2-
dimethylpropanamide (I)
AN 1995.781772 CAPLUS <<LOGINID::20111010>>
DN 123.169671
OPRF 123.202023 202063
TI Preparation of spirocyclic compounds as neurokinin antagonists
TI MacGoss Malcolm; Mills Sander G.; Shah Shrenik K.; Chiang Yian-Ching
D.; Dunn Patrick T.; Koyama, Hiroo; Finke, Paul E.; Qi, Hongbo;
Reichardt Albert T.
DA Merck and Co, Inc, USA
SO DCT Int Appl., 226 pp.
CODEN: PIXXD2
DT Patent
LA English
IT CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

DN CN WQ 94729209 W. AT RR RC RD RV CA CN CZ ET HT TD KD KZ I.K, LV, MG, IIZ, IIS IIZ, PT, SE, DW. AT RF CH CE CK ES ED GR GD IE IT IJ MC NT, PT, SE, CA 21629995 A1 199411222 CA 1994-21629995 19940517
 AT 9472011 A 19950102 AU 1994-72011 19940517
 AT 690020 R2 19970717
 ED 702621 A1 19960227 ED 1995-901979 19940517
 D. AT RF CH DE DK ES ED GR GD IE IT IJ MC NT, DT SE
 TD 09511522 T 19961202 TD 1994-501802 19940517
 ZA 9402946 A 19950120 ZA 1994-3946 19940606
 IIS 1992-72904 A 19920607
 WQ 1994-IIS5545 W 19940517
 MAPDAT 122.169671
 167484-19-7D
 RT. RCT (Reactant). SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (Prep. of spirocyclic compds. as kinin receptor antagonists)
 167484-19-7 CADIIS
 Ethanone, 1-(1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1-yl)- (CA INDEX
 NAME)

/ Structure 91 in file .gra /

DN CN ***167484-09-5D*** ***167484-10-8D*** ***167484-12-0D***
 167484-17-5D ***167484-29-1D*** ***167484-49-2D***
 167484-49-3D ***167484-50-6D*** ***167484-51-7D***
 167484-55-1D ***167484-05-4D*** ***167484-08-7D***
 167484-11-2D ***167484-12-3D*** ***167484-13-4D***
 167484-14-5D ***167484-15-6D*** ***167484-16-7D***
 167484-17-8D ***167484-18-9D*** ***167484-19-0D***
 167484-20-3D ***167484-21-4D*** ***167484-22-5D***
 167484-23-6D ***167484-24-7D*** ***167484-25-8D***
 167484-26-9D ***167484-27-0D*** ***167484-28-1D***
 167484-33-8D ***167484-34-9D*** ***167484-37-2D***
 167484-41-8D ***167484-42-9D*** ***167484-43-0D***
 167484-46-3D ***167484-47-4D*** ***167484-48-5D***
 167484-50-9D ***167484-52-1D*** ***167484-55-4D***
 167484-56-5D ***167484-57-6D*** ***167484-58-7D***
 167484-59-8D ***167484-60-1D*** ***167484-80-5D***
 167484-81-6D ***167484-82-7D*** ***167484-83-8D***
 167484-84-9D ***167484-85-0D*** ***167484-90-7D***
 RT. SPN (Synthetic preparation). THU (Therapeutic use); BIOL (Biological
 study). DPRE (Preparation). IISRS (IISRS)
 (Prep. of spirocyclic compds. as kinin receptor antagonists)
 167484-09-5 CADIIS
 Benzamide, N-[(2S)-4-[(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
 1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 92 in file .gra /

DN CN 167484-10-8 CADIIS
 Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-[1,2-dihydro-1-(1-
 oxycyclopentyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]butyl]-N,3,5-trimethyl-
 (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 93 in file .gra /

```

DN 167484-12-0 CADI.HIS
CN Benzamide N-[(2S)-2-(3,4-dichlorophenyl)-4-[1-(2,2-dimethyl-1-oxopropyl)-
1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl]butyl]-N,3,5-trimethyl-
(CA INDEX NAME)

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Absolute stereochemistry.

/ Structure 94 in file .gra /

```

DN 167484-17-5 CADI.HIS
CN Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
1'-yl)-2-(3,4-dichlorophenyl)butyl]-3-fluoro-N-methyl-5-(trifluoromethyl)-
(CA INDEX NAME)

```

Absolute stereochemistry.

/ Structure 95 in file .gra /

```

DN 167484-39-1 CADI.HIS
CN Benzamide N-[(2S)-4-[1-(aminoacetyl)-1,2-dihydrospiro[3H-indole-3,4'-
piperidin]-1'-yl]-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (9CI) (CA
INDEX NAME)

```

Absolute stereochemistry.

/ Structure 96 in file .gra /

```

DN 167484-48-2 CADI.HIS
CN Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
1'-yl)-2-(4-fluorophenyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA
INDEX NAME)

```

Absolute stereochemistry.

/ Structure 97 in file .gra /

```

DN 167484-49-3 CADI.HIS
CN Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
1'-yl)-2-(3-chlorophenyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA
INDEX NAME)

```

Absolute stereochemistry.

/ Structure 98 in file .gra /

```

DN 167484-50-6 CADI.HIS
CN Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
1'-yl)-2-(4-chlorophenyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA
INDEX NAME)

```

Absolute stereochemistry.

/ Structure 99 in file .gra /

```

DN 167484-51-7 CADI.HIS
CN Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
1'-yl)-2-(3,4-difluorophenyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)-
(CA INDEX NAME)

```

Absolute stereochemistry.

/ Structure 100 in file .gra /

DN 167484-55-1 CADIHS
CN Benzamide, N-[4-[(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin-1'-yl]-2-(4-pyridinyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA INDEX NAME)

/ Structure 101 in file .gra /

/ Structure 102 in file .gra /

DN 167485-05-4 CADIHS
CN Carboxylic acid, [(2S)-4-[(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin-1'-yl]-2-(3,4-dichlorophenyl)butyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 103 in file .gra /

DN 167485-08-7 CADIHS
CN Spiro[3H-indole-3,4'-piperidin-1'-]butanamine, 1-acetyl-5-chloro-, beta-[(3,4-dichlorophenyl)-1,2-dihydro-N-methyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 104 in file .gra /

DN 167485-11-2 CADIHS
CN Spiro[3H-indole-3,4'-piperidin-1'-]butanamine, 1-acetyl-, beta-[(3,4-dichlorophenyl)-1,2-dihydro-N,5-dimethyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 105 in file .gra /

DN 167485-12-3 CADIHS
CN Spiro[3H-indole-3,4'-piperidin-1'-]butanamine, 1-acetyl-, beta-[(3,4-dichlorophenyl)-5-fluoro-1,2-dihydro-N-methyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 106 in file .gra /

DN 167485-13-4 CADIHS
CN Spiro[3H-indole-3,4'-piperidin-1'-]butanamine, 1-acetyl-, beta-[(3,4-dichlorophenyl)-6-fluoro-1,2-dihydro-N-methyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 107 in file .gra /

167485-14-5 CADI.HIS
 Spiro[3H-indole-3,4'-piperidin]-1'-butanamine
 1-acetyl- β -(3,4-dichlorophenyl)-4-fluoro-1,2-dihydro-N-methyl-,
 (.beta.S)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.
 / Structure 108 in file .gra /
 DN 167485-15-6 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-4-fluoro-1,2-dihydrospiro[3H-indole-3,4'-
 piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX NAME)
 Absolute stereochemistry.
 / Structure 109 in file .gra /
 DN 167485-16-7 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-6-fluoro-1,2-dihydrospiro[3H-indole-3,4'-
 piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX NAME)
 Absolute stereochemistry.
 / Structure 110 in file .gra /
 DN 167485-17-8 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-4-fluoro-1,2-dihydrospiro[3H-indole-3,4'-
 piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (CA INDEX
 NAME)
 Absolute stereochemistry.
 / Structure 111 in file .gra /
 DN 167485-18-9 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-
 piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX NAME)
 Absolute stereochemistry.
 / Structure 112 in file .gra /
 DN 167485-19-0 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-chloro-1,2-dihydrospiro[3H-indole-3,4'-
 piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (CA INDEX
 NAME)
 Absolute stereochemistry.
 / Structure 113 in file .gra /
 DN 167485-20-3 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-
 piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-3-chloro-N-methyl- (CA
 INDEX NAME)
 Absolute stereochemistry.
 / Structure 114 in file .gra /
 DN 167485-21-4 CADI.HIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-

nineridin-1'-yl)-2-(3,4-dichlorophenyl)butyl]-3,5-dichloro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 115 in file .gra /

RN 167485-22-5 CADIJIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 116 in file .gra /

RN 167485-23-6 CADIJIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 117 in file .gra /

RN 167485-24-7 CADIJIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl-3-(1-methylethoxy)- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 118 in file .gra /

RN 167485-25-8 CADIJIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 119 in file .gra /

RN 167485-26-9 CADIJIS
 CN Benzamide, N-[(2S)-4-(1-acetyl-1,2-dihydro-5-methylspiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 120 in file .gra /

RN 167485-27-0 CADIJIS
 CN 1-Naphthalenecarboxamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-nineridin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
 / Structure 121 in file .gra /

/ Structure 122 in file .gra /

RN 167485-28-1 CADIJIS
CN 1-Naphthalenecarboxamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 123 in file .gra /

RN 167485-33-8 CADIJIS
CN 1-Naphthalenecarboxamide, N-[(2S)-4-(1-acetyl-6-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 124 in file .gra /

/ Structure 125 in file .gra /

RN 167485-34-9 CADIJIS
CN 1-Naphthalenecarboxamide, N-[(2S)-4-(1-acetyl-4-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 126 in file .gra /

/ Structure 127 in file .gra /

RN 167485-37-2 CADIJIS
CN Spiro[3H-indole-3,4'-piperidin]-1'-butanamine, 1-acetyl-, beta-[(3,4-dichlorophenyl)-5-fluoro-N-[(4-fluoro-1-naphthalenyl)methyl]-1,2-dihydro-N-methyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 128 in file .gra /

/ Structure 129 in file .gra /

RN 167485-41-8 CADIJIS
CN Benzamide, N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 130 in file .gra /
DN 167485-42-9 CADIJIS
CN Benzamide N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-
piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-3-chloro-4-fluoro-N-methyl-
(CA INDEX NAME)

Absolute stereochemistry.

/ Structure 131 in file .gra /

DN 167485-43-0 CADIJIS
CN Benzamide N-[(2S)-4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-
piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N,3,5-trimethyl-
(CA INDEX NAME)

Absolute stereochemistry.

/ Structure 132 in file .gra /

DN 167485-46-3 CADIJIS
CN Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N,3,5-trimethyl- (CA INDEX
NAME)

Absolute stereochemistry.

/ Structure 133 in file .gra /

DN 167485-47-4 CADIJIS
CN Benzamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-
1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl-3-(trifluoromethyl)-
(CA INDEX NAME)

Absolute stereochemistry.

/ Structure 134 in file .gra /

DN 167485-48-5 CADIJIS
CN 1-Naphthalenecarboxamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-
3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-4-fluoro-N-methyl-
(CA INDEX NAME)

Absolute stereochemistry.

/ Structure 135 in file .gra /

/ Structure 136 in file .gra /

DN 167485-50-9 CADIJIS
CN 1-Naphthalenecarboxamide N-[(2S)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-
3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX
NAME)

Absolute stereochemistry.

/ Structure 137 in file .gra /

167485-52-1 CADIJIS
Benzamide N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-1-phenylbutyl]-N,3,5-trimethyl- (CA INDEX NAME)

/ Structure 138 in file .gra /

BN 167485-55-4 CADIJIS
CN Benzamide N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-methylbutyl]-N,3,5-trimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 139 in file .gra /

BN 167485-56-5 CADIJIS
CN Spiro[3H-indole-3,4'-piperidin]-1'-butanamine
1-acetyl-2-(3,4-dichlorophenyl)-N-(4-fluoro-1-naphthalenyl)-1,2-dihydro-N,.alpha.-dimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 140 in file .gra /

BN 167485-57-6 CADIJIS
CN Benzamide N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-ethylbutyl]-N,3,5-trimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 141 in file .gra /

BN 167485-58-7 CADIJIS
CN Benzamide N-[4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-ethylbutyl]-N,3,5-trimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 142 in file .gra /

BN 167485-59-8 CADIJIS
CN Benzamide N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-propylbutyl]-N,3,5-trimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 143 in file .gra /

BN 167485-60-1 CADIJIS
CN Benzamide N-[4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-(3,4-dichlorophenyl)-1-propylbutyl]-N,3,5-trimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 144 in file .gra /

RN 167485-80-5 CAPLUS

Benzamide, N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin-1'-yl]-2-(3,4-dichlorophenyl)-1-methylbutyl]-N,3,5-trimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 145 in file .gra /

PM 167485-81-6 CADIJIS
CN Spiro[3H-indole-3,4'-piperidin-1'-butanamine
1-acetyl-, beta-2-(3,4-dichlorophenyl)-N-(4-fluoro-1-naphthalenyl)-1,2-dihydro-N,.alpha.-dimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 146 in file .gra /

PM 167485-82-7 CADIJIS
CN Benzamide, N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin-1'-yl]-2-(3,4-dichlorophenyl)-1-ethylbutyl]-N,3,5-trimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 147 in file .gra /

PM 167485-83-8 CADIJIS
CN Benzamide, N-[4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin-1'-yl]-2-(3,4-dichlorophenyl)-1-ethylbutyl]-N,3,5-trimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 148 in file .gra /

PM 167485-84-9 CADIJIS
CN Benzamide, N-[4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin-1'-yl]-2-(3,4-dichlorophenyl)-1-propylbutyl]-N,3,5-trimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 149 in file .gra /

PM 167485-85-0 CADIJIS
CN Benzamide, N-[4-(1-acetyl-5-fluoro-1,2-dihydrospiro[3H-indole-3,4'-piperidin-1'-yl]-2-(3,4-dichlorophenyl)-1-propylbutyl]-N,3,5-trimethyl-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 150 in file .gra /

PM 167485-90-7 CADIJIS
CN Benzoic acid, 3,5-bis(trifluoromethyl)-4-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin-1'-yl]-2-(3,4-dichlorophenyl)butyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 151 in file .gra /

1.16 ANSWER 50 OF 53 CADIUS COPYRIGHT 2011 ACS on STM
AR Spiro-substituted azacycles (Markush included) are disclosed. The comds.
of the invention are tachykinin receptor antagonists, esp. neurokinin-3
receptor antagonists and are useful in the treatment of central nervous
system disorders, inflammatory diseases, pain, migraine, asthma, and
emesis. Synthesis of comds. of the invention, e.g.
1-[(2-(S)- (3,4-dichlorophenyl)-4-(N-benzyl-N-methylamino-4-oxo-butyl-
4-(2-pyridyl)-piperazine is included is data on their ability to
displace radioactive ligand from NK-1 receptors, NK-2 receptors, and NK-3
receptors.

AN 1995.759109 CAPLUS <<LOGINID::20111010>>
DN 123.218432
OBER 123.285993 386023

TT Spiro-substituted azacycles as neurokinin-3 antagonists, their
preparation, and their use for treatment of central nervous system
disorders and other disorders

IN Shah, Shrenik K
DA Merck and Co., Inc., USA
CO IT S 16 pp

CONF. USXXAM

Patent
English

FRAN CNT 1

PATENT NO		KIND		DATE		APPLICATION NO		DATE	
US 5434158		A		19950718		US 1994-233487		19940426	
CA 2188031		A1		19951102		CA 1995-2188031		19950421	
WO 9528931		A1		19951102		WO 1995-1154881		19950421	
W. AM AT BR B2 BD BV CA CN CZ EE ET GE HT IS TD KZ		K7 LK LP LT LV MD MG, MN, MX, NO, NZ, PL, RO, RU, SG,		SI SK TT TZ UA US VV		YU ZR			
PW. KR MW SN SZ TG AT BE CH DE DK ES EP GR GP IE IT		MT, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,		SI SK TT TZ UA US VV		YU ZR			
AT 9522915		A		19951116		AT 1995-23915		19950421	
EP 758228		A1		19970219		EP 1995-917091		19950421	
P. AT BR CH DE DK ES EP GR GP IE IT IT MT DT SF									
TD 09512272		T		19971209		JP 1995-527766		19950421	
US 1994-233487		A		19940426					
WO 1995-1154881		W		19950421					

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASPFACT 123.218432
TT ***168201-73-8D***
PT. BAC (Biological activity or effector, except adverse). BSH (Biological
study, unclassified). SDM (Synthetic preparation). THU (Therapeutic use);
RTOT (Biological study). DREF (Preparation). HSES (Hses)
(Spiro-substituted azacycles as tachykinin receptor antagonists, their
prepn, and their use for treatment of central nervous system disorders
and other disorders)

PN 168201-73-8 CADIUS
CN Spiro[3H-indole-3,4'-piperidin-1'-butanamide
1-acetyl-, alpha- (3,4-dichlorophenyl)-1,2-dihydro-N-methyl-N-
(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 152 in file .gra /

ANSWER 51 OF 52 CADIUS COPYRIGHT 2011 ACS on STM
A potent, orally active growth hormone (GH) secretagogue L-163,191
belonging to a recently synthesized structural class has been
characterized. L-163,191 releases GH from rat pituitary cells in culture
with EC50 = 1.3 nM and is mechanistically indistinguishable from the
GH-releasing peptide GHRP-6 and the prototypical nonpeptide GH
secretagogue L-692,429 but clearly distinguishable from the natural GH
secretagogue GH-releasing hormone L-163,191 elevates GH in dogs after
oral doses as low as 0.125 mg/kg and was shown to be specific in its
release of GH without significant effect on plasma levels of aldosterone,
T4, thyroxine and prolactin after oral administration of 1 mg/kg. Only
modest increases in cortisol were observed. Based on these properties, L-163,191
has been selected for clinical studies.
1995:700762 CAPLUS <<LOGINID::20111010>>
123.160569
123.283152 283182
Design and biological activities of L-163,191 (MK-0677): a potent, orally
active growth hormone secretagogue
Bachett A A Marmund D D Tata J D Chen M H Barakat, K. J.;
Johnston D R P. Cheng K. Chan W W S. Butler R. et al.
Dev Med Chem Merck Des Lab Rahway, NJ 07065-0900 USA
Proceedings of the National Academy of Sciences of the United States of
America (1995) 92(15) 7001-5
CODEN: DNASAG. ISSN: 0027-8424
National Academy of Sciences
Journal
English
159634-43-2D
BI. BAC (Biological activity or effector, except adverse). BSH (Biological
study, unclassified). SDN (Synthetic preparation). THU (Therapeutic use);
RIOT (Biological study). DPRE (Preparation). HSES (Uses)
(prepn and biol activities of analogs of the nonpeptidyl L-692-429
growth hormone secretagogue)
159634-43-2 CADIUS
Propanamide, N-[(1R)-2-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-
piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-amino-2-methyl-
(CA INDEX NAME)

Absolute stereochemistry.

/ Structure 153 in file .gra /

ANS.G 259 THERE ARE 259 CAPLUS RECORDS THAT CITE THIS RECORD (260 CITINGS)

ANSWER 52 OF 52 CADIUS COPYRIGHT 2011 ACS on STM
Bisphosphonates in combination with growth hormone secretagogues (Markush
included for both bisphosphonates and growth hormone secretagogues) reduce
the deleterious effects of osteoporosis in elderly patients. Prepn. of
selected comds of the invention is described. The effect of
N-[(1R)-((1,2-dihydro-1-methanesulfonylspiro[3H-indole-3,4'-piperidin]-1'-
yl)carbonyl)-3-phenylpropyl]-2-amino-2-methylpropanamide alone and in
combination with pamidronate (3-amino-1-hydroxypropylidene-1,1-bisphosphonic
acid) on bone in old female rats was evaluated. Results
indicated that the growth hormone secretagogue restored bone formation
that had been suppressed by the bisphosphonate to control levels. Addnl
the was no difference in osteoclast surface (bone resorption) as a result
of treatment with the growth hormone secretagogue.
1995:686929 CAPLUS <<LOGINID::20111010>>

(un)substituted CH2 C.O S(O)m etc. m = 0-2. D1 = (un)substituted alkyl aryl cycloalkyl etc. D2 = H C1-6 alkyl C3-7 cycloalkyl. D3a, D3b = H halogen C1-6 alkyl OD2 CM etc. D4 D5 = H (un)substituted, C1-6 alkyl. D6 = H C1-6 alkyl. n = 1-21 [IT. G H T T = C N S O], which promote the release of growth hormone (no data) are present. Thus, N-[1(D)-[2-(1,3'-dihydro-2-oxo-spiro[piperidine-4,4'(H)-quinolin]-1-yl)carbonyl]-2-(1H-indol-3-yl)ethyl]-2-amino-2-methylpropanamide hydrochloride was present in 7 stems from 11-(tert-butylloxycarbonyl)spiro[1H-indene-1,4'-piperidine]. 1995.511384 CAPLUS <<LOGINID::20111010>> 122.213945 122.291072 391102 Spiro piperidines and homologs which promote release of growth hormone Chen Meng-Hsin. Johnston David B. R.; Nargund, Ravi P.; Patchett, Arthur A.; Tate, James P.; Vango, Lihu Merck and Co., Inc. HSA DA DCT Int Appl. 155 pp. CO CONF. PIXXD2. PATENT English

PATENT NO	KIND	DATE	APPLICATION NO	DATE
WO 9413696	A1	19940623	WO 1993-TSC11038	19931115
W. RR RQ RD RV RZ RT RTT KD KZ, LK, LV, MG, MN, MW, NO, NZ,	CT CK ITA ITS ITZ ITZ CM CSA CM MT. MD NE SN TD TQ			
DI. DT. DQ DIT CQ CT				
ITC 5536716	A	19960716	ITC 1993-147226	19931103
ITC 5578593	A	19961126	ITC 1993-146848	19931103
DI. 176993	B1	19990831	DI. 1993-309331	19931115
DIT 2168512	C2	20010610	DIT 1995-113349	19931115
SK 282166	B6	20011106	SK 1995-759	19931115
ET 9502862	A	19950609	ET 1995-2862	19950609
ET 9502862	A	19950609	ET 1995-2862	19950609
NO 9502294	A	19950810	NO 1995-2294	19950609
NO 9502295	A	19950810	NO 1995-2295	19950609
DDAT ITC 1993-147226	A	19931103		
ITC 1992-989322	A	19921211		
ITC 1993-146848		19931103		
WO 1993-TSC11038	W	19931115		
WO 1993-TSC11137	W	19931115		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MAPDAT 122.213945
IT ***159634-42-2***
DI. DCT (Reactant). DACT (Reactant or reagent)
(growth hormone release promoter)
DI. 159634-42-2 CAPT.IIS
CN Propanamide N-[2-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-amino-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.
/ Structure 156 in file .gra /
IT ***159633-96-2D***
DI. SDN (Synthetic preparation). DRED (Preparation)
(prepn of for growth hormone release promotion)
DI. 159633-96-2 CAPT.IIS
CN Propanamide N-[2-(1-acetyl-1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-amino-2-methyl-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 157 in file .gra /

OSCG 33 THERE ARE 33 CADDIS RECORDS THAT CITE THIS RECORD (41 CITINGS)
DE CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~> FILE STNGUIDE

COST IN U S DOLLARS

SINCE FILE

TOTAL

ENTDV

SECTION

FULL ESTIMATED COST

55.72

751.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTDV

SECTION

CA SUBSCRIBER PRICE

-7.83

-19.14

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FILE CONTAINS CURRENT INFORMATION

LAST RELOADED: Oct 7, 2011 (20111007/UP).